

Sheet 1 of 1

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE INFORMATION DISCLOSURE STATEMENT	ATTY. DOCKET NO. 20150-74359	SERIAL NO. 10/765,336
	APPLICANT Iontcho R. VLAHOV et al.	
	FILING DATE January 27, 2004	GROUP 1616 (Filing Receipt) <i>1618</i>

UNITED STATES PATENT DOCUMENTS							
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	AL						
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)		
<i>[Signature]</i>	AQ	PUNJ, Vasu; GRAVES, Jewell M.; MEHTA, Rajeshwari R.; "Effect of Vitamin D Analog (1 α Hydroxy D5) Immunoconjugated to Her-2 Antibody on Breast Cancer," INT. J. CANCER, 108, 922-929, 2004
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	AU	
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U.S. PATENT DOCUMENTS							
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	AA	5,672,486	9/30/1997	Soullou	—	—	
	AB	4,891,024	9/1/1987	Sirahata	—	—	
	AC	4,713,249	12/15/1987	Schroder	—	—	
	AD	5,266,333	11/30/1993	Cady	—	—	
	AE	5,417,982	5/23/1995	Modi	—	—	
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)		
	AR	Anderson et al., "Potocytosis: Sequestration and transport of small molecules by caveolae," <i>Science</i> 255: 410-411 (1992).
	AS	Antony, A. C., "Folate receptors," <i>Annu Rev Nutr</i> , 16: 501-21 (1996).
	AT	Antony, A. C., "The biological chemistry of folate receptors," <i>Blood</i> 79(11):2807-2820 (1992).
	AU	Barnett et al., "Structure-Activity Relationships of Dimeric Catharanthus Alkaloids. 1. Deacetylvinblastine Amide (Vindesine) Sulfate," <i>J. Med. Chem.</i> 21: 88-96 (1978).
	AV	Boger, D.L., et al., "1,2,9,9a-Tetrahydrocyclopropa[c]benz[e]indol-4-one (CBI): A Simplified Analogue of the CC-1065 Alkylation Subunit," <i>J. Org. Chem.</i> 57: 2873-2876 (1992).
	AW	Campbell et al., "Folate-binding protein is a marker for ovarian cancer," <i>Cancer Res.</i> 51: 5329-5338 (1991).
	AX	Cho et al., "Single-chain Fv/folate conjugates mediate efficient lysis of folate-receptor-positive tumor cells," <i>Bioconj. Chem.</i> 8(3): 338-346 (1997).
	AY	Christensen et al., "Membrane receptors for endocytosis in the renal proximal tubule," <i>Int. Rev. Cytol.</i> 180: 237-284 (1998).
	AZ	Citro, et al., "Inhibition of leukemia cell proliferation by folic acid - polylysine-mediated introduction of c-myc antisense oligodeoxynucleotides into HL-60 cells," <i>Br. J. Cancer</i> , 69, 463-467, (1994)

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	BF					
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	BH					
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	BJ					
	BK					

OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)

	BL	Frankel, A.E., "Immunotoxin therapy of cancer," <i>Oncology</i> 7: 69 (1993)
	BM	Garin-Chesa et al., "Trophoblast and ovarian cancer antigen LK26. Sensitivity and specificity in immunopathology and molecular identification as a folate-binding protein," <i>Am. J. Pathol.</i> 142(2): 557-562 (1993).
	BN	Gottschalk, S., et al., "Folate receptor mediated DNA delivery into tumor cells: potosomal disruption results in enhanced gene expression," <i>Gene Therapy</i> 1(3): 185-191 (1994).
	BO	Hofland et al., "Folate-targeted gene transfer in vivo," <i>Mol Ther</i> 5(6): 739-744 (2002).
	BP	Holladay et al., "Riboflavin-mediated delivery of a macromolecule into cultured human cells," <i>Biochim Biophys Acta</i> 1426(1): 195-204 (1999).
	BQ	Holm, J., et al., "Folate receptors in malignant and benign tissues of human female genital tract," <i>BioSci. Rep.</i> 17(4): 415-427 (1997).
	BR	Holm, J., et al., "High-affinity folate binding in human choroid plexus. Characterization of radioligand binding, immunoreactivity, molecular heterogeneity and hydrophobic domain of the binding protein," <i>Biochem J.</i> 280(1): 267-271 (1991).
	BS	Kamen et al., "Delivery of folates to the cytoplasm of MA104 cells is mediated by a surface receptor that recycles," <i>J. Biol. Chem.</i> 263: 13602-13609 (1988).
	BT	Kamen, B. A. and Capdevila, A., "Receptor-mediated folate accumulation is regulated by the cellular folate content," <i>Proc. Natl. Acad. Sci. USA</i> 83: 5983-5987 (1986).
	BU	Kamen et al., "The folate receptor works in tandem with a probenecid-sensitive carrier in MA104 cells in vitro," <i>J. Clin. Invest.</i> 87(4): 1442-1449 (1991).
	BV	Kane et al., "The influence of extracellular folate concentration on methotrexate uptake by human KB cells. Partial characterization of a membrane-associated methotrexate binding protein," <i>J. Biol. Chem.</i> 261: 44-49 (1986).
	BW	Kranz et al., "Conjugates of folate and anti-T-cell-receptor antibodies specifically target folate-receptor-positive tumor cells for lysis," <i>Proc. Natl. Acad. Sci. USA</i> 92(20): 9057-9061 1995.
	BX	Ladino et al., "Folate-maytansinoids: target-selective drugs of low molecular weight," <i>Int. J. Cancer</i> 73(6): 859-864 (1997).
	BY	Leamon, C. P. and Low, P. S., "Folate-mediated targeting: from diagnostics to drug and gene delivery," <i>Drug Discovery Today</i> 6: 36-43 (2001).

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D. Jones

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	CF						
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)

CL	Leamon, C. P. and Low, P. S., "Cytotoxicity of momordin-folate conjugates in cultured human cells," <i>J. Biol. Chem.</i> 267(35): 24966-24971 (1992).
CM	Leamon, C. P. and Low, P. S., "Delivery of macromolecules into living cells: a method that exploits folate receptor endocytosis," <i>Proc. Natl. Acad. Sci. USA</i> 88(13): 5572-5573 (1991).
CN	Leamon, C. P. and Low, P. S., "Membrane folate-binding proteins are responsible for folate-protein conjugate endocytosis into cultured cells," <i>Biochem. J.</i> 291: 855-860 (1993).
CO	Leamon, C. P. and Low, P. S., "Selective targeting of malignant cells with cytotoxin-folate conjugates," <i>J. Drug Target.</i> 2(2): 101-112 (1994).
CP	Leamon et al., "Folate-mediated drug delivery: effect of alternative conjugation chemistry," <i>J. Drug Target.</i> 7(3): 157-169 (1999).
CQ	Leamon et al., "Synthesis and Biological Evaluation of EC20: A New Folate-Derived, (99m)Tc-Based Radiopharmaceutical," <i>Bioconjug. Chem.</i> 13(6): 1200-1210 (2002).
CR	Leamon et al., "Cytotoxicity of folate-Pseudomonas exotoxin conjugates toward tumor cells. Contribution of translocation domain," <i>J. Biol. Chem.</i> 268(33): 24847-24854 (1993).
CS	Lee et al., "Synthesis and evaluation of taxol-folic acid conjugates as targeted antineoplastics," <i>Bioorg Med Chem.</i> 10(7): 2397-2414, (2002).
CT	Lee, R. J. and Huang, L., "Folate-Targeted, Anionic Liposome-Entrapped Polylysine-Condensed Dna For Tumor Cell-Specific Gene Transfer," <i>J. Biol. Chem.</i> 271(14): 8481-8487 (1996).
CU	Lee, R. J. and Low, P. S., "Folate-mediated tumor cell targeting of liposome-entrapped doxorubicin in vitro," <i>Biochim. Biophys. Acta</i> 1233: 134-144 (1995).
CV	Lee, R. J. and Low, P. S., "Delivery of liposomes into cultured KB cells via folate receptor-mediated endocytosis," <i>J. Biol. Chem.</i> 269(5): 3198-3204 (1994).
CW	Lee et al., "Measurement of Endosome pH Following Folate Receptor-Mediated Endocytosis," <i>Biochim. Biophys. Acta</i> 1312(3): 237-242 (1996).
CX	Lewis et al., "Receptor-mediated folate uptake is positively regulated by disruption of actin cytoskeleton," <i>Cancer Res.</i> 58(14): 2952-2956 (1998).
CY	Liu et al., "Targeted Drug Delivery to Chemoresistant Cells: Folic Acid Derivatization of FdUMP[10] Enhances Cytotoxicity Toward 5-FU-Resistant Human Colorectal Tumor Cells," <i>J. Org. Chem.</i> 66: 5655-5663 (2001).

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U.S. PATENT DOCUMENTS							
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)							
	DK	Lu, J. Y. and Low, P. S., "Folate targeting of haptens to cancer cell surfaces mediates immunotherapy of syngeneic murine tumors," <i>Cancer Immunol Immunother</i> 51: 153-162 (2002).					
	DL	Lu et al., "Folate-targeted enzyme prodrug cancer therapy utilizing penicillin-V amidase and a doxorubicin prodrug," <i>J. Drug Target</i> 7(1): 43-53 (1999).					
	DM	Lu, J. Y. and Low, P. S., "Folate-mediated delivery of macromolecular anticancer therapeutic agents," <i>Adv. Drug Del Rev</i> 54(5): 675-693 (2002).					
	DN	Luo et al., "Efficient syntheses of pyrofolic acid and pteroyl azide, reagents for the production of carboxyl-differentiated derivatives of folic acid," <i>J. Am. Chem. Soc.</i> 119: 10004-10013 (1997).					
	DO	Mathias et al., "Synthesis of [(99m)Tc]DTPA-folate and its evaluation as a folate-receptor-targeted radiopharmaceutical," <i>Bioconjug Chem.</i> 11(2): 253-257 (2000).					
	DP	Mathias et al., "Receptor-mediated targeting of 67Ga-deferoxamine-folate to folate-receptor-positive human KB tumor xenografts," <i>Nucl Med Biol</i> 26(1): 23-25 (1999).					
	DQ	Mathias, C. J. and Green, M. A., "A kit formulation for preparation of [(111)In]In-DTPA-folate, a folate-receptor-targeted radiopharmaceutical," <i>Nucl. Med. Biol.</i> 25(6): 585-587 (1998).					
	DR	Mathias et al., "Tumor-Selective Radiopharmaceutical Targeting Via Receptor-Mediated Endocytosis of Gallium-67-Deferoxamine-Folate," <i>J. Nucl. Med.</i> 37(6): 1003-1008 (1996).					
	DS	Mathias et al., "Indium-111-DTPA-Folate as a potential folate-receptor-targeted radiopharmaceutical," <i>J. Nucl. Med.</i> 39(9): 1579-1585 (1998).					
	DT	Matsui et al., "Studies on mitomycins. 3. The synthesis and properties of mitomycin derivatives," <i>J Antibiot</i> 21: 189-198 (1968).					
	DU	Melani et al., "Targeting of interleukin 2 to human ovarian carcinoma by fusion with a single-chain Fv of antifolate receptor antibody," <i>Cancer Res.</i> 58(18): 4146-4154 (1998).					
	DV	Melby et al., "Entry of protein toxins in polarized epithelial cells," <i>Cancer Res.</i> 53(8): 1755-1760 (1993).					
	DW	Mislick et al., "Transfection of folate-polylysine DNA complexes: evidence for lysosomal delivery," <i>Bioconjug. Chem.</i> 6(5): 512-515 (1995).					
	DX	Morshed et al., "Folate transport proteins mediate the bidirectional transport of 5-methyltetrahydrofolate in cultured human proximal tubule cells," <i>J. Nutr.</i> 127(6): 1137-1147 (1997).					
	DY	Olsnes, et al., "Immunotoxins—entry into cells and mechanisms of action," <i>Immunol. Today</i> 10: 291-295 (1989).					
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	EF						
	EG						
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)		
	EK	Patrick et al., "Folate Receptors As Potential Therapeutic Targets in Choroid Plexus Tumors of Sv40 Transgenic Mice," <i>J. Neurooncol.</i> 32(2): 111-123 (1997).
	EL	Patrick et al., "Intracerebral bispecific ligand-antibody conjugate increases survival of animals bearing endogenously arising brain tumors," <i>Int. J. Cancer</i> 78(4): 470-79 (1998).
	EM	Prasad et al., "Functional coupling between a bafilomycin A1-sensitive proton pump and a probanecid-sensitive folate transporter in human placental choriocarcinoma cells," <i>Biochim. Biophys. Acta</i> 1222(2): 309 (1994).
	EN	Reddy et al., "Retargeting of viral vectors to the folate receptor endocytic pathway," <i>J Control Release</i> , 74(1-3): 77-82 (2001).
	EO	Reddy et al., "Optimization of folate-conjugated liposomal vectors for folate receptor-mediated gene therapy," <i>J. Pharm. Sci</i> 88(11): 1112-1118 (1999).
	EP	Rijnboutt et al., "Endocytosis of GPI-linked membrane folate receptor-alpha," <i>J. Cell Biol.</i> 132(1-2): 35-47 (1998).
	EQ	Ross et al., "Differential regulation of folate receptor isoforms in normal and malignant tissues in vivo and in established cell lines. Physiologic and clinical implications," <i>Cancer</i> 73(9): 2432-2443, (1994).
	ER	Rothberg et al., "Cholesterol controls the clustering of the glycopospholipid-anchored membrane receptor for 5-methyltetrahydrofolate," <i>J. Cell Biol.</i> 111(6): 2931-2938 (1990).
	ES	Rothberg et al., "The glycopospholipid-linked folate receptor internalizes folate without entering the clathrin-coated pit endocytic pathway," <i>J. Cell Biol.</i> 110(3): 637-649 (1990).
	ET	Roy et al., "Targeting T cells against brain tumors with a bispecific ligand-antibody conjugate," <i>Int. J. Cancer</i> 76(5): 761-66 (1998).
	EU	Sadasivan et al., "The complete amino acid sequence of a human folate binding protein from KB cells determined from the cDNA," <i>J. Biol. Chem.</i> 264: 5808-5811, (1989).
	EV	Senter et al., "Development of a Drug-Release Strategy Based on the Reductive Fragmentation of Benzyl Carbamate Disulfides," <i>J. Org. Chem.</i> 55: 2975-2978 (1990).
	EW	Smart et al., "Protein kinase C activators inhibit receptor-mediated potocytosis by preventing internalization of caveolae," <i>J. Cell Biol.</i> 124(3): 307-313 (1994).
	EX	Smart et al., "Clustered folate receptors deliver 5-methyltetrahydrofolate to cytoplasm of MA104 cells," <i>J. Cell Biol.</i> 134(5): 1169-1177 (1998).
	EY	Li et al "Targeted delivery of antisense oligodeoxynucleotides by LPDII," <i>J. Liposome Res.</i> 7(1): 63 (1997).

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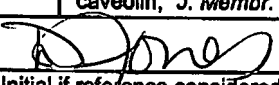
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U.S. PATENT DOCUMENTS							
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	FF						
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OTHER REFERENCES (Including Author, Title, Date, Pertinent Pages, Etc.)		
	FK	Steinberg, G. and Borch, R. F., "Synthesis and Evaluation of Pteric Acid-Conjugated Nitroheterocyclic Phosphoramidates as Folate Receptor-Targeted Alkylating Agents," <i>J. Med. Chem.</i> 44: 69-73 (2001).
	FL	Toffoli et al., "Overexpression of folate binding protein in ovarian cancers," <i>Int. J. Cancer</i> 74(2): 193-198 (1997).
	FM	Turek et al., "Endocytosis of folate-protein conjugates: ultrastructural localization in KB cells," <i>J. Cell Sci.</i> 106: 423-430 (1993).
	FN	Turk et al., "Characterization of a novel pH-sensitive peptide that enhances drug release from folate-targeted liposomes at endosomal pHs," <i>Biochim Biophys Acta</i> 1559(1): 56-68 (2002).
	FO	Varma, R. and Mayor, S., "GPI-anchored proteins are organized in submicron domains at the surface," <i>Nature</i> 394(6695): 798-801 (1998).
	FP	Vyas, D., et al., "A practical synthesis of mitomycin A and its analogs," <i>J Org Chem</i> 31:4307-4309 (1986)
	FQ	Vogel et al., "Peptide-Mediated Release of Folate-Targeted Liposome Contents From Endosomal Compartments," <i>J. Am. Chem. Soc.</i> 118(7): 1581-1586 (1996).
	FR	Wang, S. and Low, P. S., "Folate-mediated targeting of antineoplastic drugs, imaging agents, and nucleic acids to cancer cells," <i>J. Control Rel</i> 53(1-3): 39-48 (1998).
	FS	Wang et al., "Delivery of antisense oligodeoxynucleotides against the human epidermal growth factor receptor into cultured KB cells with liposomes conjugated to folate via polyethylene glycol," <i>Proc. Natl. Acad. Sci. USA</i> 92(8): 3318-3322 (1995).
	FT	Wang et al., "Synthesis, purification, and tumor cell uptake of 67Ga-deferoxamine-folate, a potential radiopharmaceutical for tumor imaging," <i>Bioconj. Chem.</i> 7(1): 56-62 (1996).
	FU	Wang et al., "Design and synthesis of [111In]DTPA-folate for use as a tumor-targeted radiopharmaceutical," <i>Bioconj Chem.</i> 8(5): 673-679 (1997).
	FV	Weitman et al., Distribution of the folate receptor GP38 in normal and malignant cell lines and tissues, <i>Cancer Res.</i> , 52(12), 3398-3401, 1992.
	FW	Weitman et al., "Cellular localization of the folate receptor: potential role in drug toxicity and folate homeostasis," <i>Cancer Res.</i> 52(23): 6708-6711 (1992).
	FX	Wiener et al., "Targeting dendrimer-chelates to tumors and tumor cells expressing the high-affinity folate receptor," <i>Invest. Radiol.</i> 32(12): 748-54 (1997).
	FY	Wu et al., "Clustering of GPI-anchored folate receptor independent of both cross-linking and association with caveolin," <i>J. Membr. Biol.</i> 159(2): 137-147 (1997).

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<i>27</i>	GK	Zimmerman, J., "Folic acid transport in organ-cultured mucosa of human intestine. Evidence for distinct carriers," <i>Gastroenterol.</i> 99(4): 964-972 (1990).
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